

IN THE UNITED STATES PATENT & TRADEMARK OFFICE

In re Application of TORMO I BLASCO et al.

Serial No. 10/590,368

Filed: 08/03/2005 as PCT international application

For: 5,6-DIALKYL-7-AMINOTRIAZOLOPYRIMIDINES

DECLARATION

I, Egon Haden, Dr. agr., a citizen of the Federal Republic of Germany and residing at Bayenstrasse 55, 67061 Ludwigshafen, Germany, hereby declare as follows:

I am fully trained agricultural engineer, having studied agricultural science at the Technical University of Stuttgart - Hohenheim, Germany, from 1975 to 1980;

From 1980 to 1985 I furthered my studies at the Institute of Plant Disease of the University of Hohenheim, and I was awarded my doctor's degree by the said university in 1985;

I joined BASF SE of 67056 Ludwigshafen, Germany, in 1984, and have since been working in the field of the characterization and screening of fungicidal substances, and am therefore fully conversant with the technical field to which the invention disclosed and claimed in Application Serial No. 10/590,368 belongs.

I am familiar with the field to which Application Serial No. 10/590,368 belongs. I have read the Office Action, studied the prior art, and conceived the comparative tests described below. In these tests, the fungicidal activity of compounds according to Application Serial No. 10/590,368 was compared with that of compounds covered by formula (I) of US 4,617,303 has been considered as highly relevant art by the USPTO.

The tests were carried out under my supervision in accordance with the instructions described below.

Compounds according to Appl. Ser. No. 10/590,368, which have a C₅-C₁₂-alkyl group in the 5-position have been compared with compounds known from US 4,617,303, which have a C₃-C₄-alkyl group in the same position, but otherwise have a similar structure as the compounds of Appl. Ser. No. 10/590,368.

Experiments

A) Greenhouse

Formulation

The active ingredients were used separately to prepare a stock solution comprising 25 mg active ingredient, which was filled up with a mixture of acetone and/or DMSO and the emulsifier Uniperol® EL (emulsifying and dispersing wetter based on ethoxylated alkyl-phenols) in a volume ratio solvent: emulsifier of 99 : 1 ad 10 ml solution. Afterwards water was added ad 100 ml. This stock solution was diluted with the above described solvent: emulsifier : water mixture to give the desired active ingredient concentrations stated below.

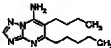
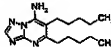

Evaluation

Evaluation was carried out by determining the infected leaf areas in percent. These percentages were converted into efficacies.

Comparative Test 1

Activity against peronospora of vines caused by *Plasmopara viticola*

Leaves of potted vines of the cultivar "Müller-Thurgau" were sprayed to runoff point with an aqueous suspension of the active compound. The next day, the undersides of the leaves were inoculated with an aqueous zoospore suspension of *Plasmopara viticola*. The vines were then initially placed in a water-vapor-saturated chamber at 24°C for 48 hours and then in a greenhouse at 20-30°C for 5 days. After this period of time, the plants were again placed in a moist chamber for 16 hours to promote sporangiophore eruption. The extent to which the infection had developed on the undersides of the leaves was then determined visually.

Compound	Structure	Infection level in % at 63 ppm active ingredient
Invention Example A-1 from Table 5		5
Invention Example A-1 from Table 8		0
US 4,617,303 Example 25		40

B) Microtiter Tests

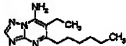

The active ingredients were prepared separately as DMSO stock solution at a concentration of 10,000 ppm.

Comparative Test 1

Activity against rice blast caused by *Pyricularia oryzae*

The stock solution of the active compound was pipetted onto a microtiter (MTP) and diluted to the concentration indicated below with an aqueous fungi nutrient medium based on malt. Subsequently, an aqueous spore suspension of *Pyricularia oryzae* was added. The plates were then placed in a humid chamber at a temperature of 18°C and a relative humidity close to 100%. On the seventh day after inoculation, the MTPs were scanned with an absorption photometer at 405 nm.

The measured parameters were compared to the growth of the active-free control variant (100%) and the fungi-free and active-free blank value, to calculate the relative growth in % of the pathogens in the respective active compounds.

Compound	Structure	Relative growth in % at 125 ppm active ingredient
Invention Example A-9 from Table 2		7
US 4,617,303 Example 16		98

These test results clearly demonstrate that the tested compounds according to Appl. Ser. No. 10/590,368 have distinct advantages and improved fungicidal efficacy compared to the tested compounds covered by formula I of US 4,617,303.

The tested compounds according to Appl. Ser. No. 10/590,368, which have a C₅-C₁₂-alkyl group in the 5-position, show a markedly higher activity against peronospora of vines caused by *Plasmopara viticola* and rice blast caused by *Pyricularia oryzae* (microtiter test) than the tested compounds according to US 4,617,303 which have a propyl or butyl group in the 5-position, but otherwise have a similar structure as the compounds of Appl. Ser. No. 10/590,368.

I further declare that all statements made herein of my own knowledge are true and that all statements made on information or belief are believed to be true; and further that these statements are made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issuing thereon.

Signed at 67056 Ludwigshafen, Germany, this 30th day of July, 2008.

A handwritten signature in dark ink, appearing to read 'Egon Haden', is written over a horizontal line.

Dr. Egon Haden